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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	APR 02	CAS Registry Number Crossover Limits Increased to 500,000 in Key STN Databases
NEWS	3	APR 02	PATDPAFULL: Application and priority number formats enhanced
NEWS	4	APR 02	DWPI: New display format ALLSTR available
NEWS	5	APR 02	New Thesaurus Added to Derwent Databases for Smooth Sailing through U.S. Patent Codes
NEWS	6	APR 02	EMBASE Adds Unique Records from MEDLINE, Expanding Coverage back to 1948
NEWS	7	APR 07	50,000 World Traditional Medicine (WTM) Patents Now Available in CAplus
NEWS	8	APR 07	MEDLINE Coverage Is Extended Back to 1947
NEWS	9	JUN 16	WPI First View (File WPIFV) will no longer be available after July 30, 2010
NEWS	10	JUN 18	DWPI: New coverage - French Granted Patents
NEWS	11	JUN 18	CAS and FIZ Karlsruhe announce plans for a new STN platform
NEWS	12	JUN 18	IPC codes have been added to the INSPEC backfile (1969-2009)
NEWS	13	JUN 21	Removal of Pre-IPC 8 data fields streamline displays in CA/CAplus, CASREACT,and MARPAT
NEWS	14	JUN 21	Access an additional 1.8 million records exclusively enhanced with 1.9 million CAS Registry Numbers -- EMBASE Classic on STN
NEWS	15	JUN 28	Introducing "CAS Chemistry Research Report": 40 Years of Biofuel Research Reveal China Now Atop U.S. in Patenting and Commercialization of Bioethanol
NEWS	16	JUN 29	Enhanced Batch Search Options in DGENE, USGENE, and PCTGEN
NEWS	17	JUL 19	Enhancement of citation information in INPADOC databases provides new, more efficient competitor analyses
NEWS	18	JUL 26	CAS coverage of global patent authorities has expanded to 61 with the addition of Costa Rica
NEWS	19	SEP 15	MEDLINE Cited References provide additional revelant records with no additional searching.
NEWS	20	OCT 04	Removal of Pre-IPC 8 data fields streamlines displays in USPATFULL, USPAT2, and USPATOLD.
NEWS	21	OCT 04	Precision of EMBASE searching enhanced with new chemical name field
NEWS	22	OCT 06	Increase your retrieval consistency with new formats or for Taiwanese application numbers in CA/CAplus.
NEWS	23	OCT 21	CA/CAplus kind code changes for Chinese patents increase consistency, save time
NEWS	24	OCT 22	New version of STN Viewer preserves custom highlighting of terms when patent documents are saved in .rtf format
NEWS	25	OCT 28	INPADOCDB/INPAFAMDB: Enhancements to the US national patent classification.
NEWS	26	NOV 03	New format for Korean patent application numbers in CA/CAplus increases consistency, saves time.
NEWS	27	NOV 04	Selected STN databases scheduled for removal on December 31, 2010
NEWS	28	NOV 18	PROUSDDR and SYNTHLINE Scheduled for Removal December 31, 2010 by Request of Prous Science

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 NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
          AND CURRENT DISCOVER FILE IS DATED 07 JULY 2010.

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FILE 'HOME' ENTERED AT 19:15:34 ON 18 NOV 2010

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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                    0.22       0.22

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STRUCTURE FILE UPDATES:   17 NOV 2010  HIGHEST RN 1253573-34-0
DICTIONARY FILE UPDATES:  17 NOV 2010  HIGHEST RN 1253573-34-0

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Uploading c:\program files\stnexp\queries\10560887 l1.18.10

L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 19:16:35 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       64 TO ITERATE

100.0% PROCESSED       64 ITERATIONS                                8 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          800 TO     1760
PROJECTED ANSWERS:               8 TO      329

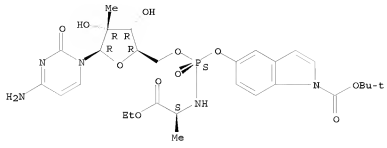
L2            8 SEA SSS SAM L1

=> d scan

L2   8 ANSWERS   REGISTRY  COPYRIGHT 2010 ACS on STN
IN   L-Alanine, N-[[P(S)]-P-[1-[(1,1-dimethylethoxy)carbonyl]-1H-indol-5-yl]-2'-
```

C-methyl-5'-cytidylyl]-, ethyl ester
MF C28 H38 N5 O11 P

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l2 full
FULL SEARCH INITIATED 19:16:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1283 TO ITERATE

100.0% PROCESSED 1283 ITERATIONS 124 ANSWERS
SEARCH TIME: 00.00.01

L3 124 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 192.03 192.25

FILE 'CAPLUS' ENTERED AT 19:16:53 ON 18 NOV 2010
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FILE COVERS 1907 - 18 Nov 2010 VOL 153 ISS 21
FILE LAST UPDATED: 17 Nov 2010 (20101117/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the fourth quarter of 2010.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

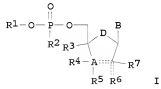
=> s l3
L4 13 L3

=> d bib abs hitstr 1-13 l4

L4 ANSWER 1 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:1189122 CAPLUS
DN 153:431658
TI Preparation of protected nucleotide analogs treating diseases such as viral or parasitic infections or cancer
IN Beigelman, Leonid; Blatt, Lawrence; Loennberg, Harri
PA Alios Biopharma, Inc., USA
SO PCT Int. Appl., 108pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2010108135	A1	20100923	WO 2010-US28039	20100319
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20100240604	A1	20100923	US 2010-728068	20100319
PRAI US 2009-162171P	P	20090320		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 153:431658
GI



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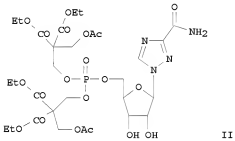


II

AB Nucleotide analogs with protected phosphates, I, wherein A can be C, O, or S; B is an optionally substituted heterocyclic base; D can be C=CH2, CH2, O and S; R1 can be an allyl substituted ester; R2 is an N-linked amino acid; R3 H, azido, cyano, alkyl or alkoxy groups; R4 can be absent, H, halo, hydroxy, or alkyl groups; R5 can be absent, H, halo, hydroxy, azido, amino or O-linked amino acids; R6 absent, H, halo, hydroxy, nitrile, isonitrile, alkyl, alkoxy, or O-linked amino acids; R7 can be absent, H, halo, alkyl, haloalkyl or bonded to R6 are prepared for treating diseases and/or conditions such as viral infections, cancer, and/or parasitic diseases. Thus, II was prepared and displayed a CC50 of 9.7, EC50 of 1.6 and EC90 of 4.4 μM in an HCV replication assay. Notably, the

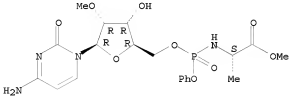
nucleotide analogs with protected phosphates, I are aimed at treating hepatitis C or a hepatitis B viral infection, HIV, cancer or Chagas disease.

IT 1228649-74-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of protected nucleotide analogs treating diseases such as viral or parasitic infections or cancer)

RN 1228649-74-8 CAPLUS

CN L-Alanine, N-(2'-O-methyl-P-phenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:1185586 CAPLUS
DN 153:456909
TI Preparation of nucleoside and nucleotide analog with protected phosphates for treating diseases such as viral infections, cancer, and/or parasitic diseases
IN Beigelman, Leonid; Blatt, Lawrence; Wang, Guangyi
PA Alios Biopharma, Inc., USA
SO PCT Int. Appl., 196pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2010108140	A1	20100923	WO 2010-US28046	20100319
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CL, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PE, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MK, MT, NL, NO, PL, PT, RO, SE, SI, SK, SM, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20100249068	A1	20100930	US 2010-728128	20100319
PRAI US 2009-162198P	P	20090320		
US 2009-224815P	P	20090710		
US 2009-234169P	P	20090814		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
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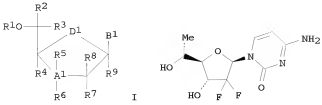


I II

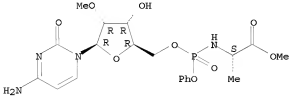
AB Disclosed herein are nucleotide analogs with protected phosphates I [wherein R1-R9, A1, B1, and D1 as defined in the claims], such that the methods of synthesizing nucleotide analogs with protected phosphates and methods of treating diseases and/or conditions such as viral infections, cancer, and/or parasitic diseases with the nucleotide analogs with protected phosphates is presented. Thus, II was prepared and displayed an activity of < 5 μM in the HCV replication assay. Notably, viral infections, leukemia, cancer, hepatitis C, HIV and Chagas' disease are all claimed as diseases relevant to the present invention.

IT 1228649-74-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside and nucleotide analog with protected phosphates for treating diseases such as viral infections, cancer, and/or parasitic diseases)

RN 1228649-74-8 CAPLUS

CN L-Alanine, N-(2'-O-methyl-P-phenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN

AN 2010:483452 CAPLUS

DN 153:62460

TI Chemical and enzymatic stability of amino acid derived phosphoramidates of antiviral nucleoside 5'-monophosphates bearing a biodegradable protecting group

AU Leisvuori, Anna; Aiba, Yuichiro; Loennberg, Tuomas; Poijaervi-Virta, Paeivi; Blatt, Laurence; Beigelman, Leo; Loennberg, Harri

CS Department of Chemistry, University of Turku, Turku, FIN-20014, Finland

SO Organic & Biomolecular Chemistry (2010), 8(9), 2131-2141
CODEN: OBCRAK; ISSN: 1477-0520

PB Royal Society of Chemistry

DT Journal

LA English

OS CASREACT 153:62460

AB Ribavirin and 2'-O-methylcytidine 5'-phosphoramidates derived from L-alanine Me ester bearing either an O-Ph or a biodegradable O-[3-(acetyloxy)-2,2-bis(ethoxycarbonyl)propyl] or O-[3-(acetyloxymethoxy)-2,2-bis(ethoxycarbonyl)propyl] protecting group were prepared The kinetics of the deprotection of these pro-drugs by porcine liver esterase and by a whole cell extract of human prostate carcinoma was studied by HPLC-ESI-MS/MS. The 3-(acetyloxymethoxy)-2,2-bis(ethoxycarbonyl)propyl and 3-(acetyloxy)-2,2-bis(ethoxycarbonyl)propyl groups were readily removed releasing the L-alanine Me ester phosphoramidate nucleotide, the deprotection of the 3-(acetyloxymethoxy) derivative being approx. 20 times

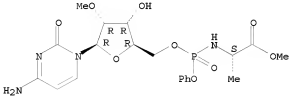
faster. The chemical stability of the 2'-O-methylcytidine pro-drugs was addnl. determined over a pH range from 7.5 to 10.

IT 1228649-74-8P
RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(target phosphoramidate, enzymic and non-enzymic hydrolysis; chemical and enzymic stability of amino acid derived phosphoramidates of antiviral nucleoside 5'-monophosphates bearing a biodegradable protecting group)

RN 1228649-74-8 CAPLUS

CN L-Alanine, N-(2'-O-methyl-P-phenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2010:85102 CAPLUS
DN 152:144974
TI Preparation of nucleoside phosphoramidate prodrugs as antiviral agents
IN Sofia, Michael Joseph; Du, Jinfa; Wang, Peiyuan; Nagarathnam, Dhanapalan
PA Pharmasset, Inc., USA
SO U.S. Pat. Appl. Publ., 77pp.; Chemical Indexing Equivalent to 149:426212 (NO)
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20100016251	A1	20100121	US 2008-53015	20080321
	AU 2008232827	A1	20081009	AU 2008-232827	20080326
	CA 2682230	A1	20081009	CA 2008-2682230	20080326
	WO 2008121634	A2	20081009	WO 2008-US58183	20080326
	WO 2008121634	A3	20100520		
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
	KR 20100016041	A	20100212	KR 2009-7022652	20080326
	EP 2203462	A2	20100707	EP 2008-732818	20080326
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	JP 2010532747	T	20101014	JP 2010-502196	20080326
	AR 66898	A1	20090923	AR 2008-101286	20080328
	MX 2009010401	A	20091110	MX 2009-10401	20090928
PRAI	US 2007-909315P	P	20070330		
	US 2007-982309P	P	20071024		
	US 2008-53015	A	20080321		
	WO 2008-US58183	W	20080326		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

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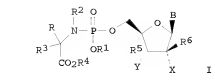
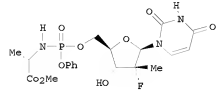




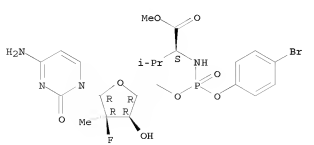
AB Disclosed herein are nucleoside phosphoramidates prodrugs I, wherein R and R3 are independently H, alkyl, cycloalkyl, alkylamine, hydroxyalkyl, CH2SH, alkyl-sulfonyl, (CH2)3NHC(=NH)NH2, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, acyl, aryl, aryl-alkyl; R3 and R both are alkyl; R3 and R together are alkylidene so as to form a spiro ring; R3 is H and R and R2 together are (CH2)n so as to form a cyclic ring that includes the adjoining N and C atoms; R is H and R3 and R2 together are (CH2)n. so as to form a cyclic ring; that includes the adjoining N and C atoms, n is 2 to 4; one of R and R3 is H and the other is R3 CH3, Et, CH(CH3)2, CH2CH(CH3)2, CH(CH3)CH2CH3, CH2Ph, CH2-indol-3-yl, -CH2CH2SCH3, CH2CO2H, CH2C(O)NH2, CH2CH2COOH, CH2CH2C(O)NH2, CH2CH2CH2CH2NH2, -CH2CH2CH2NHC(NH)NH2, CH2-imidazol-4-yl, CH2OH, CH(OH)CH3, CH2((4'-OH)-Ph), CH2SH, cycloalkyl; R1 is H, alkyl, cycloalkyl, aryl; R2 is H, alkyl; R, R2 and R3 together are (CH2)n; R4 is H, alkyl, alkoxy, alkylamino, halo, halo-alkyl, cycloalkyl, aminoacyl, aryl, heterocycle; R5 is H, alkyl, CN, vinyl, hydroxy-alkyl, CH2OH, CH2F, CH2CN, CH2NH2, CH2NHMe, CH2NMe2, alkyne; R6 is H, Me, CH2F, CHF2, CF3, F, CN; X is H, OH, F, OMe, halogen, NH2, N3; Y is OH, H, alkyl, alkenyl, alkynyl, vinyl, N3, CN, halo, oxycarbonyl sulfonyl, were prepared and tested as antiviral agents. Thus, nucleoside II was prepared and tested as antiviral agent for the treatment of any condition the result of an infection by hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus.

IT 1064684-28-1P 1064684-29-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)

RN 1064684-28-1 CAPLUS

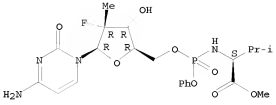
CN L-Valine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



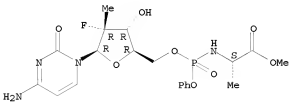
RN 1064684-29-2 CAPLUS
CN L-Valine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 1064684-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)
RN 1064684-27-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

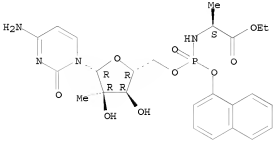


L4 ANSWER 5 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:952853 CAPLUS
DN 151:313498
TI Phosphoramidate Prodrugs of 2'-C-Methylcytidine for Therapy of Hepatitis C Virus Infection
AU Gardelli, Cristina; Attenni, Barbara; Donghi, Monica; Meppen, Malte; Paciní, Barbara; Harper, Steven; Di Marco, Annalise; Fiore, Fabrizio; Giuliano, Claudio; Pucci, Vincenzo; Laufer, Ralph; Gennari, Nadia; Marcucci, Isabella; Leone, Joseph F.; Olsen, David B.; MacCoss, Malcolm; Rowley, Michael; Narjes, Frank
CS Departments of Medicinal Chemistry and Pharmacology, Istituto di Ricerche di Biologia Molecolare, P. Angeletti S.p.A. (IRBM-MRL Rome), Pomezia, 00040, Italy
SO Journal of Medicinal Chemistry (2009), 52(17), 5394-5407
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 151:313498
AB The application of a phosphoramidate prodrug approach to 2'-C-methylcytidine (NM107), the first nucleoside inhibitor of the hepatitis C virus (HCV) NS5B polymerase, is reported. 2'-C-Methylcytidine, as its valyl ester prodrug (NM283), was efficacious in reducing the viral load in patients infected with HCV. Several of the phosphoramidates prepared demonstrated a 10- to 200-fold superior potency with respect to the parent nucleoside in the cell-based replicon assay. This is due to higher levels of 2'-C-methylcytidine triphosphate in the cells. These prodrugs are efficiently activated and converted to the triphosphate in hepatocytes of several species. Our SAR studies ultimately led to compds. that gave high levels of NTP in hamster and rat liver after s.c. dosing and that were devoid of the toxic phenol moiety usually found in ProTides.
IT 946511-07-5P 946511-13-3P 946511-29-1P
946511-32-6P 946511-37-1P 946511-45-1P

946511-46-2P 946511-59-7P 946511-63-3P
946511-68-8P 946511-72-4P 946511-74-6P
946511-76-8P 946511-78-0P 946511-81-5P
946511-82-6P 1035638-04-0P 1035638-21-1P
1185923-61-8P 1185923-63-0P 1185923-73-2P
1185923-76-5P 1185923-79-8P 1185923-81-2P
1185923-83-4P 1185923-88-9P 1185923-90-3P
1185923-92-5P 1185923-94-7P 1185923-96-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihepatitis activity of methylcytidine phosphoramidate prodrugs via condensation of methylcytidine with aryloxyphosphorochloridates)

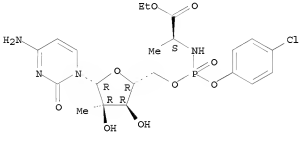
RN 946511-07-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



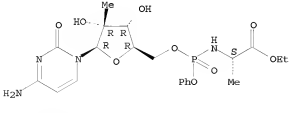
RN 946511-13-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-29-1 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

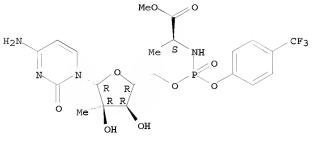
Absolute stereochemistry.



RN 946511-32-6 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[4-(trifluoromethyl)phenyl]-5'-cytidylyl]-,

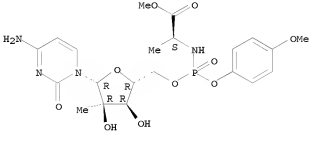
methyl ester (CA INDEX NAME)

Absolute stereochemistry.



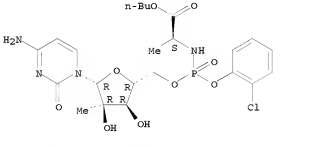
RN 946511-37-1 CAPLUS
CN L-Alanine, N-[P-(4-methoxyphenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester
(CA INDEX NAME)

Absolute stereochemistry.



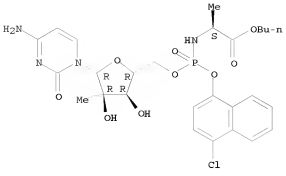
RN 946511-45-1 CAPLUS
CN L-Alanine, N-[P-(2-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester
(CA INDEX NAME)

Absolute stereochemistry.



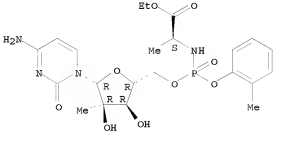
RN 946511-46-2 CAPLUS
CN L-Alanine, N-[P-(4-chloro-1-naphthalenyl)-2'-C-methyl-5'-cytidylyl]-,
butyl ester (CA INDEX NAME)

Absolute stereochemistry.



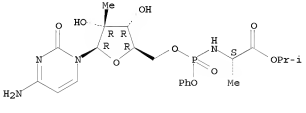
RN 946511-59-7 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-(2-methylphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



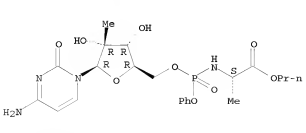
RN 946511-63-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-68-8 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, propyl ester (CA INDEX NAME)

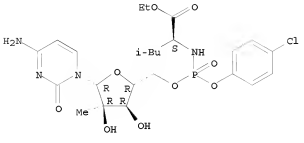
Absolute stereochemistry.



RN 946511-72-4 CAPLUS
CN L-Leucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester

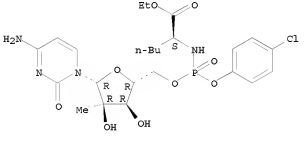
(CA INDEX NAME)

Absolute stereochemistry.



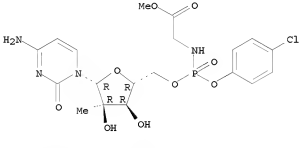
RN 946511-74-6 CAPLUS
CN L-Norleucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



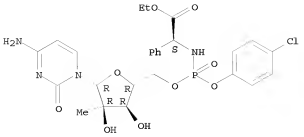
RN 946511-76-8 CAPLUS
CN Glycine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



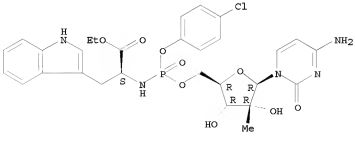
RN 946511-78-0 CAPLUS
CN Cytidine, 2'-C-methyl-, 5'-[4-chlorophenyl N-[(1S)-2-ethoxy-2-oxo-1-phenylethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



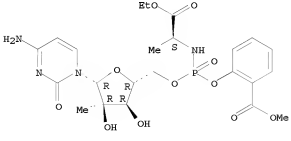
RN 946511-81-5 CAPLUS
CN L-Tryptophan, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



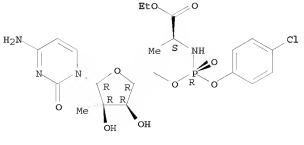
RN 946511-82-6 CAPLUS
CN L-Alanine, N-[P-[2-(methoxycarbonyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



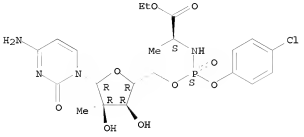
RN 1035638-04-0 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



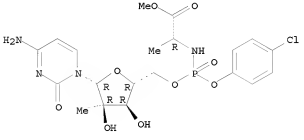
RN 1035638-21-1 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



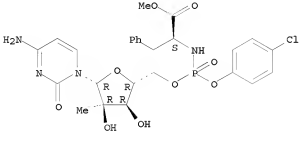
RN 1185923-61-8 CAPLUS
CN D-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



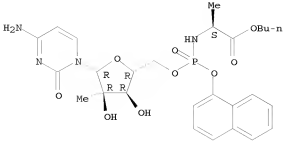
RN 1185923-63-0 CAPLUS
CN L-Phenylalanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



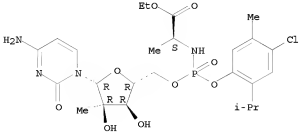
RN 1185923-73-2 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



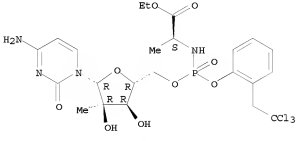
RN 1185923-76-5 CAPLUS
CN L-Alanine, N-[P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



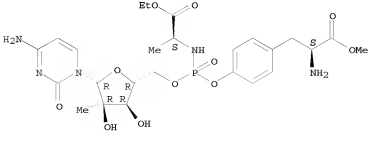
RN 1185923-79-8 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[2-(2,2,2-trichloroethyl)phenyl]-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



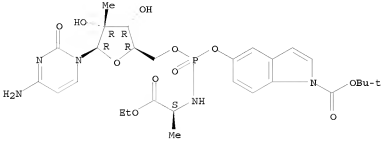
RN 1185923-81-2 CAPLUS
CN L-Tyrosine, O-[P-deoxy-P-[[(1S)-2-ethoxy-1-methyl-2-oxoethyl]amino]-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



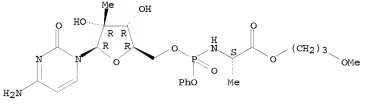
RN 1185923-83-4 CAPLUS
CN L-Alanine, N-[P-[1-[(1,1-dimethylethoxy)carbonyl]-1H-indol-5-yl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



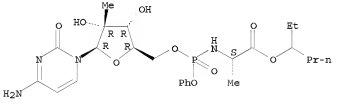
RN 1185923-88-9 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 3-methoxypropyl ester (CA INDEX NAME)

Absolute stereochemistry.



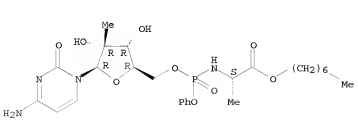
RN 1185923-90-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1185923-92-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, heptyl ester (CA INDEX NAME)

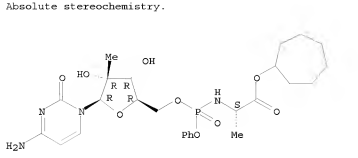
Absolute stereochemistry.



RN 1185923-94-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, cycloheptyl ester (CA

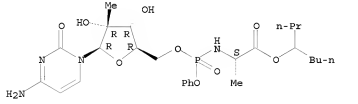
INDEX NAME)

Absolute stereochemistry.



RN 1185923-96-9 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-propylpentyl ester (CA INDEX NAME)

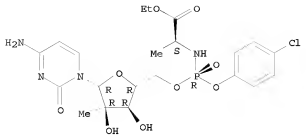
Absolute stereochemistry.



OSC.G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)
RE.CNT 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

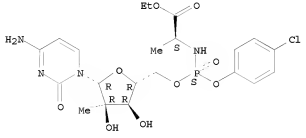
L4 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2009:875224 CAPLUS
DN 151:381628
TI Cyclic phosphoramidates as prodrugs of 2'-C-methylcytidine
AU Meppen, Malte; Pacini, Barbara; Bazzo, Renzo; Koch, Uwe; Leone, Joseph F.; Koeplinger, Kenneth A.; Rowley, Michael; Altamura, Sergio; Di Marco, Annalise; Fiore, Fabrizio; Giuliano, Claudio; Gonzalez-Paz, Odalys; Laufer, Ralph; Pucci, Vincenzo; Narjes, Frank; Gardelli, Cristina
CS Department of Chemistry - Istituto di Ricerche di Biologia Molecolare, P. Angeletti S.p.A., Pomezia, 00040, Italy
SO European Journal of Medicinal Chemistry (2009), 44(9), 3765-3770
CODEN: EJMCA5; ISSN: 0223-5234
PB Elsevier Masson SAS
DT Journal
LA English
OS CASREACT 151:381628
AB The currently approved treatment for hepatitis C virus infections is a combination of Ribavirin and pegylated Interferon. It leads to a sustained virol. response in approx. only half of the patients treated. For this reason there is an urgent need of new therapeutic agents. 2'-C-Methylcytidine is the first nucleoside inhibitor of the HCV NS5B polymerase that was efficacious in reducing the viral load in patients infected with HCV. The application of a monophosphate prodrug approach based on unprecedented cyclic phosphoramidates is reported. The SAR studies led to compds. that are efficiently converted to the active triphosphate in human hepatocytes.
IT 1035638-04-0P 1035638-21-1P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis and antiviral activity of methylcytidine cyclic phosphoramidates as prodrugs via coupling of phosphoramidate chlorides with methylcytidine, and intramol. cyclization of phosphoramidates)
RN 1035638-04-0 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 1035638-21-1 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:1215396 CAPLUS
DN 149:426212
TI Preparation of nucleoside phosphoramidate prodrugs as antiviral agents
IN Sofia, Michael J.; Du, Jinfa; Wang, Peiyuan; Nagarathnam, Dhanapalan
PA Pharmasset, Inc., USA
SO PCT Int. Appl., 751 pp., Chemical Indexing Equivalent to 152:144974 (US)
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008121634	A2	20081009	WO 2008-US58183	20080326
WO 2008121634	A3	20100520		
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20100016251	A1	20100121	US 2008-53015	20080321
AU 2008232827	A1	20081009	AU 2008-232827	20080326
CA 2682230	A1	20081009	CA 2008-2682230	20080326
KR 2010016041	A	20100212	KR 2009-7022652	20080326
EP 2203462	A2	20100707	EP 2008-732818	20080326

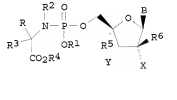
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR

JP	2010532747	T	20101014	JP 2010-502196	20080326
MX	2009010401	A	20091110	MX 2009-10401	20090928
IN	2009KN03658	A	20100319	IN 2009-KN3658	20091020
PRAI US	2007-909315P	P	20070330		
US	2007-982309P	P	20071024		
US	2008-53015	A	20080321		
WO	2008-US58183	W	20080326		

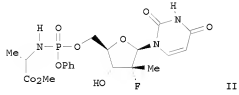
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 149:426212

GI



I



II

AB Disclosed herein are nucleoside phosphoramidates prodrugs I, wherein R and R3 are independently H, alkyl, cycloalkyl, alkylamine, hydroxyalkyl, CH2SH, alkyl-sulfonyl, (CH2)3NHC(=NH)NH2, (1H-indol-3-yl)methyl, (1H-imidazol-4-yl)methyl, acyl, aryl, aryl-alkyl; R3 and R both are alkyl; R3 and R together are alkylidene so as to form a spiro ring; R3 is H and R and R2 together are (CH2)n so as to form a cyclic ring that includes the adjoining N and C atoms; R is H and R3 and R2 together are (CH2)n. so as to form a cyclic ring; that includes the adjoining N and C atoms, n is 2 to 4; one of R and R3 is H and the other is R3 CH3, Et, CH(CH3)2, CH2CH(CH3)2, CH(CH3)CH2CH3, CH2Ph, CH2-indol-3-yl, -CH2CH2SCH3, CH2CO2H, CH2C(O)NH2, CH2CH2COOH, CH2CH2C(O)NH2, CH2CH2CH2CH2NH2, -CH2CH2CH2NHC(NH)NH2, CH2-imidazol-4-yl, CH2OH, CH(OH)CH3, CH2[(4'-OH)-Ph), CH2SH, cycloalkyl; R1 is H, alkyl, cycloalkyl, aryl; R2 is H, alkyl; R, R2 and R3 together are (CH2)n; R4 is H, alkyl, alkoxy, alkylamino, halo, halo-alkyl, cycloalkyl, aminoacyl, aryl, heterocycle; R5 is H, alkyl, CN, vinyl, hydroxy-alkyl, CH2OH, CH2F, CH2CN, CH2NH2, CH2NHMe, CH2NMe2, alkyne; R6 is H, Me, CH2F, CHF2, CF3, F, CN; X is H, OH, F, OMe, halogen, NH2, N3; Y is CH, H, alkyl, alkenyl, alkynyl, vinyl, N3, CN, halo, oxycarbonyl sulfonyl, were prepared and tested as antiviral agents. Thus, nucleoside II was prepared and tested as antiviral agent for the treatment of any condition the result of an infection by hepatitis C virus, West Nile virus, yellow fever virus, dengue virus, rhinovirus, polio virus, hepatitis A virus, bovine viral diarrhea virus or Japanese encephalitis virus.

IT 1064684-28-1P 1064684-29-2P

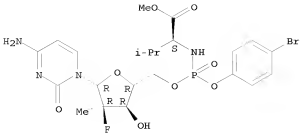
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)

RN 1064684-28-1 CAPLUS

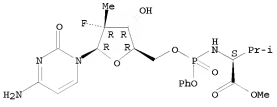
CN L-Valine, N-[(2'R)-P-(4-bromophenyl)-2'-deoxy-2'-fluoro-2'-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



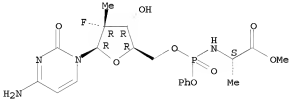
RN 1064684-29-2 CAPLUS
CN L-Valine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



IT 1064684-27-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside phosphoramidate prodrugs as antiviral agents)
RN 1064684-27-0 CAPLUS
CN L-Alanine, N-[(2'R)-2'-deoxy-2'-fluoro-2'-methyl-P-phenyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



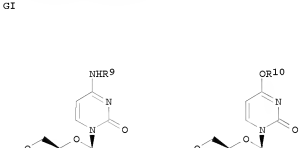
OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 8 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2008:805636 CAPLUS
DN 149:119579
TI Nucleoside cyclic phosphoramidates for the treatment of RNA-dependent RNA viral infections
IN Meppen, Malte; Narjes, Frank; Pacini, Barbara; Gardelli, Cristina; Durette, Philippe L.
PA Merck & Co., Inc., USA; Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A.
SO PCT Int. Appl., 55pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2008079206	A1	20080703	WO 2007-US25637	20071214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,				

GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
BY, KG, KZ, MD, RU, TJ, TM

AU 2007338899 A1 20080703 AU 2007-338899 20071214
CA 2672613 A1 20080703 CA 2007-2672613 20071214
EP 2120565 A1 20091125 EP 2007-853391 20071214
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR
JP 2010513484 T 20100430 JP 2009-542821 20071214
US 20100022468 A1 20100128 US 2009-519038 20090612
PRAI US 2006-876034P P 20061220
WO 2007-US25637 W 20071214
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 149:119579
GI



AB The invention discloses nucleoside cyclic phosphoramidates I, II (R1= H, Me, fluoromethyl; R2= F, OR3; R3= H, Me, C1-16 alkylcarbonyl, etc.; R4= H, C1-5 alkyl, Ph,etc; R5= H, Me; R6= H, C1-16 alkyl, Ph, adamantyl, etc.; R9= H, C1-8 alkylcarbonyl, C1-8 alkyloxycarbonyl, etc.; R10= H, C1-8 alkyl, C1-8 alkylcarbonyl), precursors to inhibitors of RNA-dependent RNA viral polymerase. The compds. are precursors to inhibitors of RNA-dependent RNA viral replication and are useful for treating RNA-dependent RNA viral infections. They are particularly useful as precursers to inhibitors of hepatitis C virus (HCV) NS5B polymerase and precursors to inhibitors of HCV replication and/or are useful for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside cyclic phosphoramidates alone or in combination with other agents active against RNA-dependent RNA viral infections, in particular HCV infection. Also disclosed are methods for inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with nucleoside cyclic phosphoramidates of the invention.

IT 1035638-03-9P 1035638-05-1P 1035638-12-0P
1035638-18-6P 1035638-22-2P 1035638-24-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(nucleoside cyclic phosphoramidates for treatment of hepatitis C and other RNA-dependent RNA viral infections)

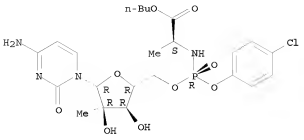
RN 1035638-03-9 CAPLUS

CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-02-8
CMF C23 H32 Cl N4 O9 P

Absolute stereochemistry.



CM 2

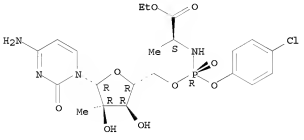
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-05-1 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-04-0
CMF C21 H28 Cl N4 O9 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

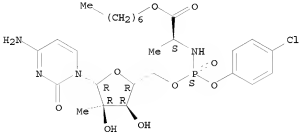
RN 1035638-12-0 CAPLUS

CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, heptyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-11-9
CMF C26 H38 Cl N4 O9 P

Absolute stereochemistry.



CM 2

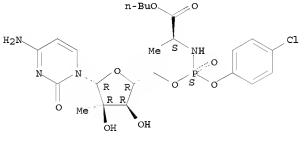
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-18-6 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-17-5
CMF C23 H32 Cl N4 O9 P

Absolute stereochemistry.



CM 2

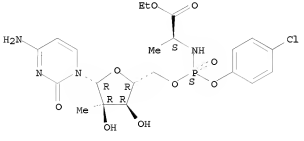
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-22-2 CAPLUS
CN L-Alanine, N-[[P(S)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-21-1
CMF C21 H28 Cl N4 O9 P

Absolute stereochemistry.



CM 2

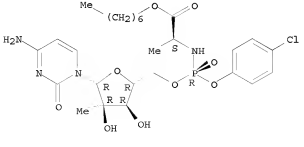
CRN 76-05-1
CMF C2 H F3 O2

RN 1035638-24-4 CAPLUS
CN L-Alanine, N-[[P(R)]-P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, heptyl ester, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 1035638-23-3
CMF C26 H38 Cl N4 O9 P

Absolute stereochemistry.



CM 2

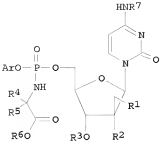
CRN 76-05-1
CMF C2 H F3 O2

OSC.G 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2007:941862 CAPLUS
DN 147:301398
TI Preparation of nucleoside aryl phosphoramidates for the treatment of RNA-dependent RNA viral infection
IN Maccoss, Malcolm; Olsen, David B.; Donghi, Monica; Gardelli, Cristina; Harper, Steven; Meppen, Malte; Narjes, Frank; Pacini, Barbara
PA Merck & Co., Inc., USA; Istituto di Ricerche di Biologia Molecolare P. Angeletti S.p.A.
SO PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007095269	A2	20070823	WO 2007-US3862	20070212
WO 2007095269	A3	20071115		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, BG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007215114	A1	20070823	AU 2007-215114	20070212
CA 2637879	A1	20070823	CA 2007-2637879	20070212
EP 1987050	A2	20081105	EP 2007-750684	20070212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2009526850	T	20090723	JP 2008-555315	20070212
CN 101384609	A	20090311	CN 2007-80005274	20080813
US 20100234316	A1	20100916	US 2008-223940	20080813
PRAI US 2006-773009P	P	20060214		
US 2006-832832P	P	20060724		
WO 2007-US3862	W	20070212		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 147:301398
GI



I

AB The present invention provides nucleoside aryl phosphoramidates I, wherein Ar is Ph; R1 is H, F; R2 is F, OMe, OH, OR; R and R3 are independently alkyl-carbonyl, alkenyl-carbonyl, alkyloxy-carbonyl, cycloalkyl-carbonyl, cycloalkyloxy-carbonyl, amino acyl;R4 is H, alkyl, Ph, benzyl; R5 is H, Me; R4R5 together with the carbon atom to which they are attached form 3- to 6-membered aliphatic spiro-cyclic ring system; R6 is H, alkyl, alkenyl, cycloalkyl, Ph, benzyl, adamantyl; R7 is H, alkyl-carbonyl, alkyloxy-carbonyl, were prepared as precursors to inhibitors of RNA-dependent RNA viral polymerase. Thus,. These compds. are precursors to inhibitors of RNA-dependent RNA viral replication and are useful for the treatment of RNA-dependent RNA viral infection. They are particularly useful as precursors to inhibitors of hepatitis C virus (HCV) NSSB polymerase, as precursors to inhibitors of HCV replication, and/or for the treatment of hepatitis C infection. The invention also describes pharmaceutical compns. containing such nucleoside aryl phosphoramidates alone or in combination with other agents active against RNA-dependent RNA viral infection, in particular HCV infection. Also disclosed are methods of inhibiting RNA-dependent RNA polymerase, inhibiting RNA-dependent RNA viral replication, and/or treating RNA-dependent RNA viral infection with the nucleoside aryl phosphoramidates of the present invention.

IT 946511-06-4P 946511-08-6P 946511-10-0P
946511-12-2P 946511-14-4P 946511-16-6P
946511-18-8P 946511-20-2P 946511-21-3P
946511-22-4P 946511-23-5P 946511-25-7P
946511-26-8P 946511-27-9P 946511-28-0P
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946511-32-6P 946511-33-7P 946511-34-8P
946511-35-9P 946511-36-0P 946511-37-1P
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946511-44-0P 946511-45-1P 946511-46-2P
946511-47-3P 946511-48-4P 946511-49-5P
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946511-74-6P 946511-76-8P 946511-78-0P
946511-79-1P 946511-80-4P 946511-81-5P
946511-82-6P 946511-95-1P 946512-01-2P
946512-02-3P 946512-04-5P 946512-06-7P
946512-07-8P 946512-08-9P 946512-09-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside aryl phosphoramidates for treatment of RNA-dependent RNA viral infection)

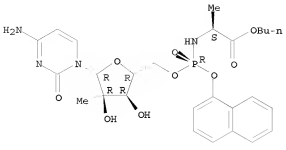
RN 946511-06-4 CAPLUS

CN L-Alanine, N-[[P(R)]-2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-05-3
CMF C27 H35 N4 O9 P

Absolute stereochemistry.



CM 2

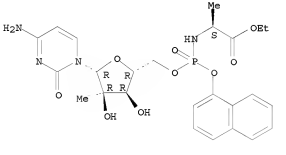
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-08-6 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-07-5
CMF C25 H31 N4 O9 P

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

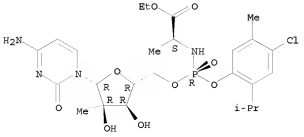
RN 946511-10-0 CAPLUS

CN L-Alanine, N-[[P(R)]-P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-09-7
CMF C25 H36 Cl N4 O9 P

Absolute stereochemistry.



CM 2

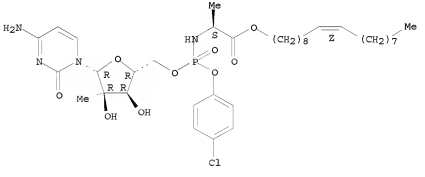
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-12-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, (9Z)-9-octadecen-1-yl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-11-1
CMF C37 H58 Cl N4 O9 P

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

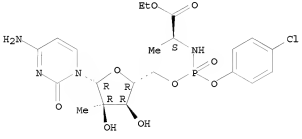
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-14-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-13-3
CMF C21 H28 Cl N4 O9 P

Absolute stereochemistry.



CM 2

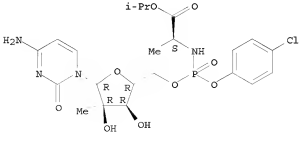
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-16-6 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 1-methylethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-15-5
CMF C22 H30 Cl N4 O9 P

Absolute stereochemistry.



CM 2

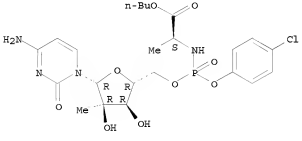
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-18-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-17-7
CMF C23 H32 Cl N4 O9 P

Absolute stereochemistry.



CM 2

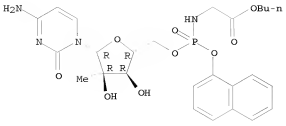
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-20-2 CAPLUS
CN Glycine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-19-9
CMF C26 H33 N4 O9 P

Absolute stereochemistry.

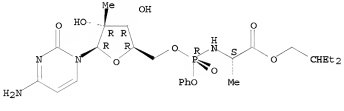


CM 2

CRN 76-05-1
CMF C2 H F3 O2

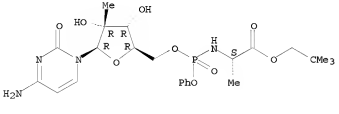
RN 946511-21-3 CAPLUS
CN L-Alanine, N-[[P(R)]-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-22-4 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2,2-dimethylpropyl ester (CA INDEX NAME)

Absolute stereochemistry.

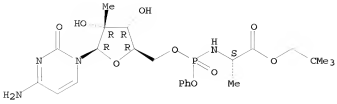


RN 946511-23-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2,2-dimethylpropyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-22-4
CMF C24 H35 N4 O9 P

Absolute stereochemistry.



CM 2

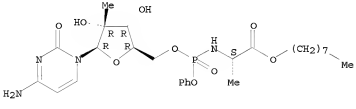
CRN 76-05-1
CMF C2 H F3 O2

RN 946511-25-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, octyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946511-24-6
CMF C27 H41 N4 O9 P

Absolute stereochemistry.

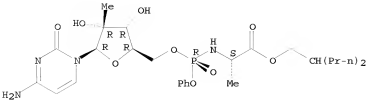


CM 2

CRN 76-05-1
CMF C2 H F3 O2

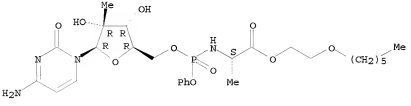
RN 946511-26-8 CAPLUS
CN L-Alanine, N-[[P(R)]-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-propylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.



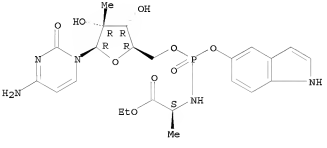
RN 946511-27-9 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2-(hexyloxy)ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



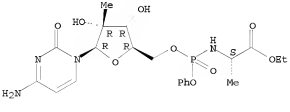
RN 946511-28-0 CAPLUS
CN L-Alanine, N-(P-1H-indol-5-yl-2'-C-methyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



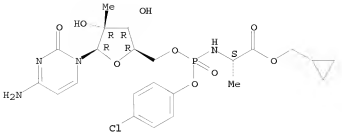
RN 946511-29-1 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



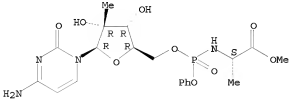
RN 946511-30-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, cyclopropylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



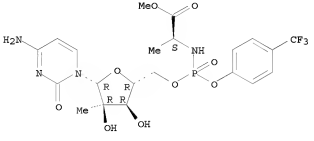
RN 946511-31-5 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



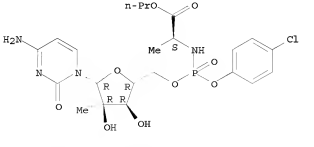
RN 946511-32-6 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[4-(trifluoromethyl)phenyl]-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-33-7 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, propyl ester (CA INDEX NAME)

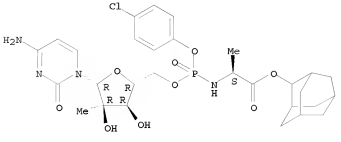
Absolute stereochemistry.



RN 946511-34-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-,

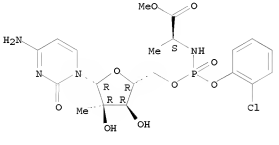
tricyclo[3.3.1.13,7]dec-2-yl ester (CA INDEX NAME)

Absolute stereochemistry.



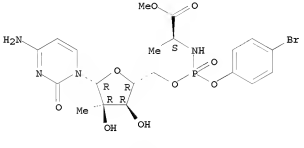
RN 946511-35-9 CAPLUS
CN L-Alanine, N-[P-(2-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



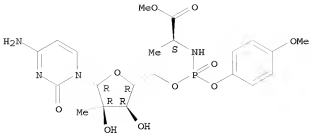
RN 946511-36-0 CAPLUS
CN L-Alanine, N-[P-(4-bromophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



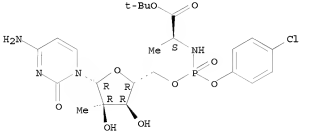
RN 946511-37-1 CAPLUS
CN L-Alanine, N-[P-(4-methoxyphenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



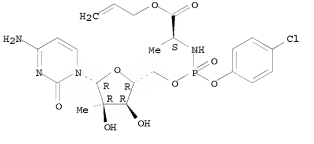
RN 946511-38-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



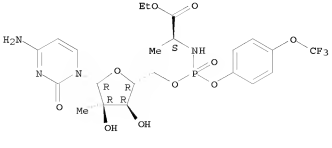
RN 946511-39-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 2-propen-1-yl ester (CA INDEX NAME)

Absolute stereochemistry.



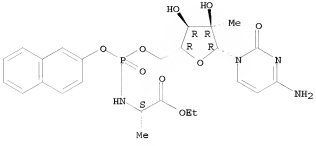
RN 946511-40-6 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[4-(trifluoromethoxy)phenyl]-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



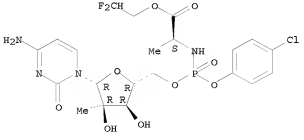
RN 946511-41-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-2-naphthalenyl-5'-cytidylyl)-, ethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



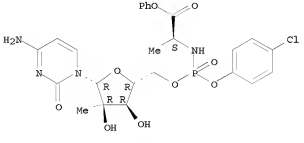
RN 946511-42-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-,
2,2-difluoroethyl ester (CA INDEX NAME)

Absolute stereochemistry.



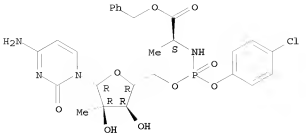
RN 946511-43-9 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, phenyl ester
(CA INDEX NAME)

Absolute stereochemistry.



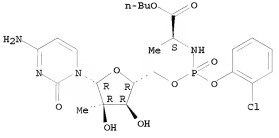
RN 946511-44-0 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, phenylmethyl
ester (CA INDEX NAME)

Absolute stereochemistry.



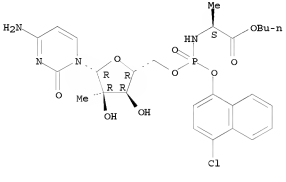
RN 946511-45-1 CAPLUS
CN L-Alanine, N-[P-(2-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, butyl ester
(CA INDEX NAME)

Absolute stereochemistry.



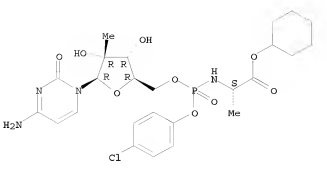
RN 946511-46-2 CAPLUS
CN L-Alanine, N-[P-(4-chloro-1-naphthalenyl)-2'-C-methyl-5'-cytidylyl]-,
butyl ester (CA INDEX NAME)

Absolute stereochemistry.



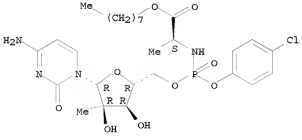
RN 946511-47-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, cyclohexyl
ester (CA INDEX NAME)

Absolute stereochemistry.



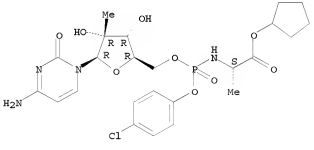
RN 946511-48-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, octyl ester (CA INDEX NAME)

Absolute stereochemistry.



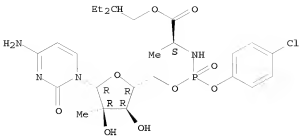
RN 946511-49-5 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, cyclopentyl ester (CA INDEX NAME)

Absolute stereochemistry.



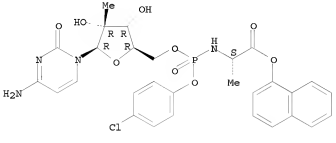
RN 946511-50-8 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 2-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



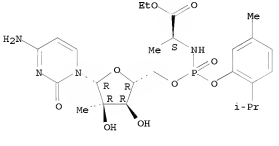
RN 946511-51-9 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 1-naphthalenyl ester (CA INDEX NAME)

Absolute stereochemistry.



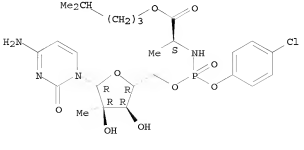
RN 946511-52-0 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-[5-methyl-2-(1-methylethyl)phenyl]-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



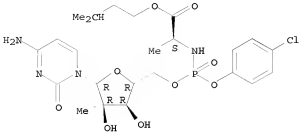
RN 946511-53-1 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 4-methylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.



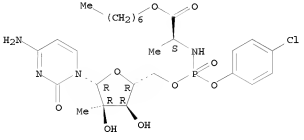
RN 946511-54-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 3-methylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



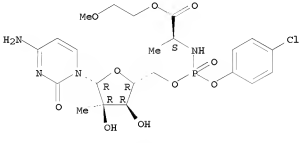
RN 946511-55-3 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, heptyl ester (CA INDEX NAME)

Absolute stereochemistry.



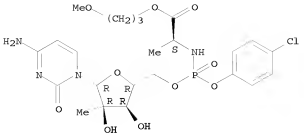
RN 946511-56-4 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 2-methoxyethyl ester (CA INDEX NAME)

Absolute stereochemistry.



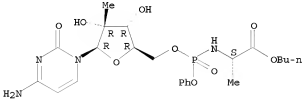
RN 946511-57-5 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, 3-methoxypropyl ester (CA INDEX NAME)

Absolute stereochemistry.



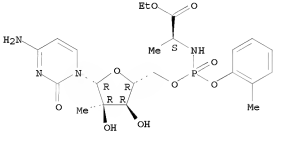
RN 946511-58-6 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, butyl ester (CA INDEX NAME)

Absolute stereochemistry.



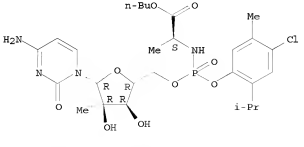
RN 946511-59-7 CAPLUS
CN L-Alanine, N-[2'-C-methyl-P-(2-methylphenyl)-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946511-60-0 CAPLUS
CN L-Alanine, N-[P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, butyl ester (CA INDEX NAME)

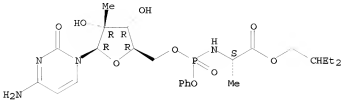
Absolute stereochemistry.



RN 946511-61-1 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 2-ethylbutyl ester (CA

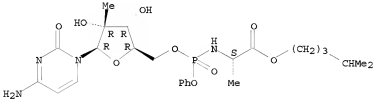
INDEX NAME)

Absolute stereochemistry.



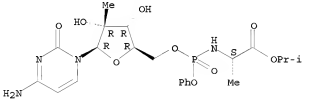
RN 946511-62-2 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 4-methylpentyl ester
(CA INDEX NAME)

Absolute stereochemistry.



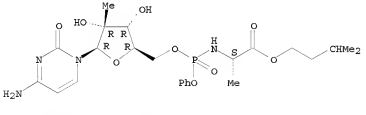
RN 946511-63-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 1-methylethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



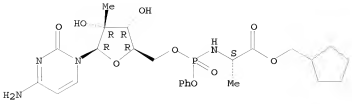
RN 946511-64-4 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, 3-methylbutyl ester
(CA INDEX NAME)

Absolute stereochemistry.



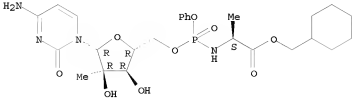
RN 946511-66-6 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, cyclopentylmethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



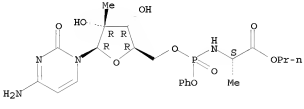
RN 946511-67-7 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, cyclohexylmethyl ester (CA INDEX NAME)

Absolute stereochemistry.



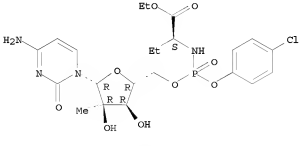
RN 946511-68-8 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-phenyl-5'-cytidylyl)-, propyl ester (CA INDEX NAME)

Absolute stereochemistry.



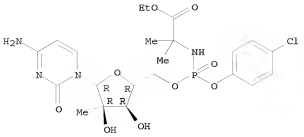
RN 946511-70-2 CAPLUS
CN Cytidine, 2'-C-methyl-, 5'-[4-chlorophenyl N-[(1S)-1-(ethoxycarbonyl)propyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



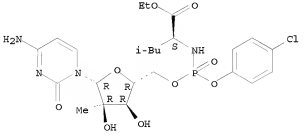
RN 946511-71-3 CAPLUS
CN Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-2-methyl-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



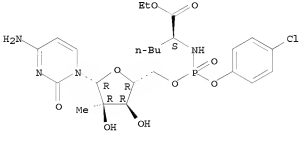
RN 946511-72-4 CAPLUS
CN L-Leucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



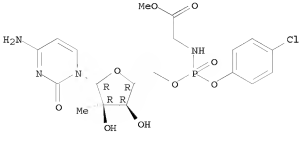
RN 946511-74-6 CAPLUS
CN L-Norleucine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



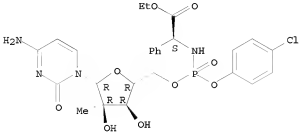
RN 946511-76-8 CAPLUS
CN Glycine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



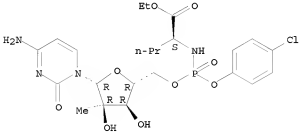
RN 946511-78-0 CAPLUS
CN Cytidine, 2'-C-methyl-, 5'-[4-chlorophenyl
N-[(1S)-2-ethoxy-2-oxo-1-phenylethyl]phosphoramidate] (CA INDEX NAME)

Absolute stereochemistry.



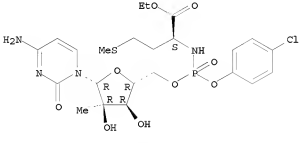
RN 946511-79-1 CAPLUS
CN L-Norvaline, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl ester
(CA INDEX NAME)

Absolute stereochemistry.



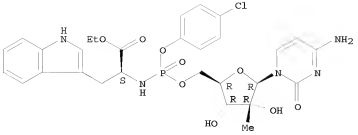
RN 946511-80-4 CAPLUS
CN L-Methionine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl
ester (CA INDEX NAME)

Absolute stereochemistry.



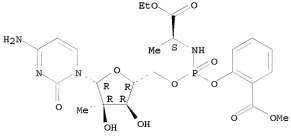
RN 946511-81-5 CAPLUS
CN L-Tryptophan, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, ethyl
ester (CA INDEX NAME)

Absolute stereochemistry.



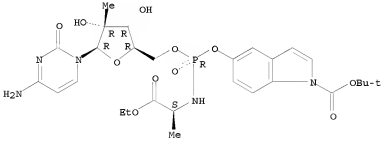
RN 946511-82-6 CAPLUS
CN L-Alanine, N-[P-[2-(methoxycarbonyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



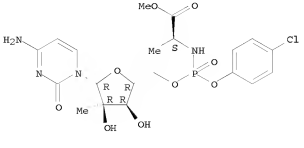
RN 946511-95-1 CAPLUS
CN L-Alanine, N-[[P(R)]-P-[1-[(1,1-dimethylethoxy)carbonyl]-1H-indol-5-yl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



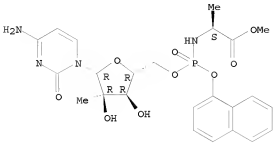
RN 946512-01-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-C-methyl-5'-cytidylyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946512-02-3 CAPLUS
CN L-Alanine, N-(2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

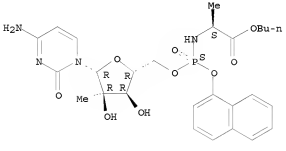


RN 946512-04-5 CAPLUS
CN L-Alanine, N-[[P(S)]-2'-C-methyl-P-1-naphthalenyl-5'-cytidylyl]-, butyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946512-03-4
CMF C27 H35 N4 O9 P

Absolute stereochemistry.



CM 2

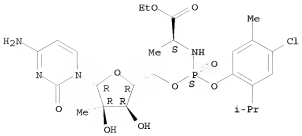
CRN 76-05-1
CMF C2 H F3 O2

RN 946512-06-7 CAPLUS
CN L-Alanine, N-[[P(S)]-P-[4-chloro-5-methyl-2-(1-methylethyl)phenyl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 946512-05-6
CMF C25 H36 Cl N4 O9 P

Absolute stereochemistry.

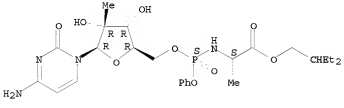


CM 2

CRN 76-05-1
CMF C2 H F3 O2

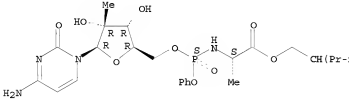
RN 946512-07-8 CAPLUS
CN L-Alanine, N-[[P(S)]-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-ethylbutyl ester (CA INDEX NAME)

Absolute stereochemistry.



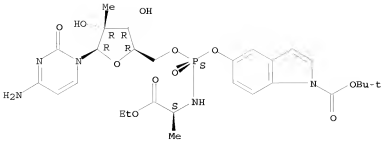
RN 946512-08-9 CAPLUS
CN L-Alanine, N-[[P(S)]-2'-C-methyl-P-phenyl-5'-cytidylyl]-, 2-propylpentyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 946512-09-0 CAPLUS
CN L-Alanine, N-[[P(S)]-P-[1-[(1,1-dimethylethoxy)carbonyl]-1H-indol-5-yl]-2'-C-methyl-5'-cytidylyl]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 10 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2006:1206158 CAPLUS
DN 145:500034
TI Phosphoramidate prodrugs for treatment of viral infection
IN Gunic, Esmir; Chow, Suetying; Rong, Frank
PA Valeant Research & Development, USA
SO PCT Int. Appl., 147pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006121820	A1	20061116	WO 2006-US17314	20060505
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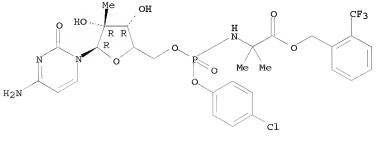
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2005-678636P	P	20050505		
US 2005-748034P	P	20051206		

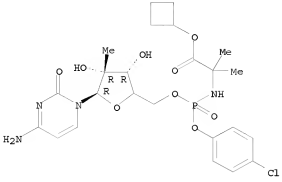
OS MARPAT 145:500034
AB The invention concerns 2'-Me ribonucleotide phosphoramidates which are neutral prodrugs which are converted in vivo to 2'- Me ribonucleotide triphosphates. These compds. are useful in the treatment of viral infection. Of particular interest are prodrugs of a methylsulfonylhydrazinyl purine 2'-Me nucleotide triphosphate: 2'-methyl-N6-alkyl-N6- (N-methylsulfonamide) ATP and its 2-amino derivative
IT 1075721-76-4 1075721-77-5 1075721-80-0
1075721-82-2 1075721-85-5 1075721-94-6
1075737-66-4
RL: PRPH (Prophetic)
(Phosphoramidate prodrugs for treatment of viral infection)
RN 1075721-76-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



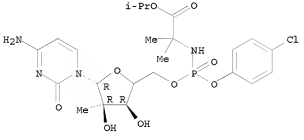
RN 1075721-77-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



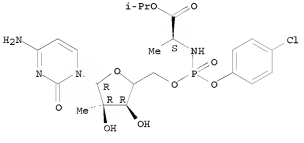
RN 1075721-80-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



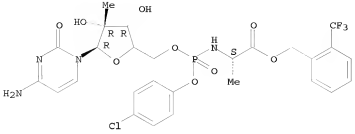
RN 1075721-82-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



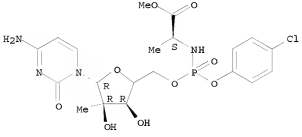
RN 1075721-85-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



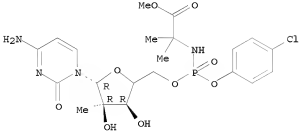
RN 1075721-94-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



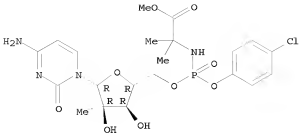
RN 1075737-66-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



IT 914912-07-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(phosphoramidate prodrugs for treatment of viral infection)
RN 914912-07-5 CAPLUS
CN Alanine, N-[(4-chlorophenoxy)hydroxyphosphinyl]-2-methyl-, methyl ester, 5'-ester with 2'-C-methylcytidine (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2005:120951 CAPLUS
DN 142:219498
TI Preparation of amino acid-containing nucleotide phosphoramidates as antitumor agents
IN McGuigan, Christopher
PA University College Cardiff Consultants Limited, UK
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005012327	A2	20050210	WO 2004-GB3148	20040720
WO 2005012327	A3	20050421		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004261455	A1	20050210	AU 2004-261455	20040720
CA 2518115	A1	20050210	CA 2004-2518115	20040720
EP 1646639	A2	20060419	EP 2004-743483	20040720
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2006528162	T	20061214	JP 2006-520890	20040720
NZ 541974	A	20090331	NZ 2004-541974	20040720
NO 2005003993	A	20051102	NO 2005-3993	20050826
MX 2005012606	A	20060208	MX 2005-12606	20051122
US 20060142238	A1	20060629	US 2005-560847	20051215
PRAI GB 2003-17009	A	20030721		
WO 2004-GB3148	A	20040720		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS CASREACT 142:219498; MARPAT 142:219498
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

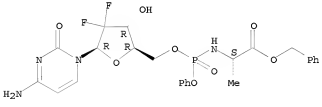
AB Amino acid-containing nucleotide phosphoramidates I, wherein R is alkyl, aryl, alkylaryl; R1 and R2 are independently H, alkyl, alkylaryl; R1 and R2 together form an alkylene chain so as to provide, together with the C atom to which they are attached, a cyclic system; Q is -O- and -CH-; X and Y are independently H, F, Cl, Br, I, OH and Me; Ar is a monocyclic aromatic ring moiety or a fused bicyclic aromatic ring moiety, either of which said ring moieties is carbocyclic or heterocyclic and is optionally

substituted; Z is H, alkyl and halogen, were prepared and used in the treatment of cancer. The base moieties of, for example, each of deoxyuridine, cytarabine, gemcitabine and cytidine may be substituted at the 5-position. The phosphoramidate moiety has attached to the P atom an aryl-O moiety and an α-amino acid moiety. The α-amino acid moiety may correspond to or be derived from either a naturally occurring or a non-naturally occurring amino acid. Thus, title II was prepared and tested as antitumor agent. The activity of compds. embodying the present invention, and of some comparative compds., with respect to human breast cancer cell line MDA MB231, human colon cancer cell line HT1 15 and human prostrate cancer cell line PC-3, is reported.

IT 840506-29-8P 840506-31-2P 840506-32-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino acid-containing nucleotide phosphoramidates as antitumor agents)

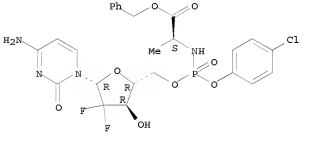
RN 840506-29-8 CAPLUS
CN L-Alanine, N-(2'-deoxy-2',2'-difluoro-P-phenyl-5'-cytidylyl)-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



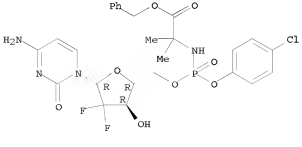
RN 840506-31-2 CAPLUS
CN L-Alanine, N-[P-(4-chlorophenyl)-2'-deoxy-2',2'-difluoro-5'-cytidylyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 840506-32-3 CAPLUS
CN Alanine, N-[P-(4-chlorophenyl)-2'-deoxy-2',2'-difluoro-5'-cytidylyl]-2-methyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

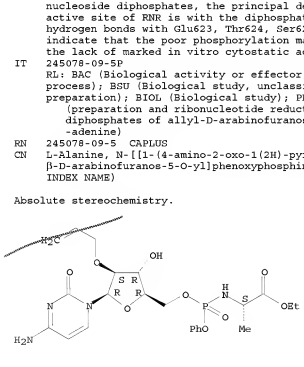
Absolute stereochemistry.



OSC.G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1999:499942 CAPLUS
DN 131:257780
TI 5'-Phosphoramidates and 5'-Diphosphates of 2'-O-Allyl-β-D-arabinofuranosyl-uracil, -cytosine, and -adenine: Inhibition of Ribonucleotide Reductase
AU Manfredini, Stefano; Baraldi, Pier Giovanni; Durini, Elisa; Vertuani, Silvia; Balzarini, Jan; De Clercq, Erik; Karlsson, Anna; Buzzoni, Valentina; Thelander, Lars
CS Department of Pharmaceutical Sciences, Ferrara University, Italy
SO Journal of Medicinal Chemistry (1999), 42(17), 3243-3250
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB Continuing our studies on ribonucleotide reductase (RNR) mechanism-based inhibitors, we have now prepared the diphosphates (DP) of 2'-O-allyl-1-β-D-arabinofuranosyl-uracil and -cytosine and 2'-O-allyl-9-β-D-arabinofuranosyl-adenine and evaluated their inhibitory activity against recombinant murine RNR. 2'-O-Allyl-araUDP proved to be inhibitory to RNR at an IC50 of 100 μM, whereas 2'-O-allyl-araCDP was only marginally active (IC50 1 mM) and 2'-O-allyl-araADP was completely inactive. The susceptibility of the parent nucleosides to phosphorylation by thymidine kinase and 2'-deoxycytidine kinase was also investigated, and all nucleosides proved to be poor substrates for the above-cited kinases. Moreover, prodrugs of 2'-O-allyl-araU and -araC monophosphates, namely 2'-O-allyl-5'-(phenylethoxy-L-alanyl phosphate)-araU and -araC, were prepared and tested against tumor cell proliferation but proved to be inactive. A mol. modeling study has been conducted in order to explain our results. The data confirm that for both the natural and analog nucleoside diphosphates, the principal determinant interaction with the active site of RNR is with the diphosphate group, which forms strong hydrogen bonds with Glu623, Thr624, Ser625, and Thr209. Our findings indicate that the poor phosphorylation may represent an explanation for the lack of marked in vitro cytostatic activity of the test compds.
IT 245078-09-5P
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation and ribonucleotide reductase inhibition of phosphoramidates and diphosphates of allyl-D-arabinofuranosyl-uracil, -cytosine and -adenine)
RN 245078-09-5 CAPLUS
CN L-Alanine, N-[[1-(4-amino-2-oxo-1(2H)-pyrimidinyl)-1-deoxy-2-O-2-propenyl-β-D-arabinofuranos-5-O-yl]phenoxyphosphinyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



OSC.G 17 THERE ARE 17 CAPLUS RECORDS THAT CITE THIS RECORD (17 CITINGS)
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 13 CAPLUS COPYRIGHT 2010 ACS on STN
AN 1982:143270 CAPLUS

DN 96:143270
OREF 96:23585a,23588a
TI Synthesis and some properties of oligonucleotidyl-(Pm → N)-serines
AU Liorancaite, L.; Juodka, B.
CS Vilnius State Univ., Vilnius, USSR
SO Nucleic Acids Symposium Series (1981), 9, 215-18
CODEN: NACSD8; ISSN: 0261-3166
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB Nucleotidyl amino acids I-VI were prepared by condensing TpT or TpdC with the amino acid derivative by (PhO)2P(O)Cl, TPS, or carbonyldiimidazole (CDI). The CDI method gave the best results. III underwent an N→O migration in acid, whereas the phosphoamide bond was cleaved in alkaline medium.
IT 81136-03-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 81136-03-0 CAPLUS
CN Thymidine, P,2'-dideoxy-P-[[2-ethoxy-1-(hydroxymethyl)-2-oxoethyl]amino]cytidylyl-(5'→3')-, (S)- (9CI) (CA INDEX NAME)